PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7:
A61K 35/78, C07C 69/00, C07H 17/08

A1

(11) International Publication Number:

WO 00/38700

1 **

(43) International Publication Date:

6 July 2000 (06.07.00)

(21) International Application Number:

PCT/EP99/10177

(22) International Filing Date:

15 December 1999 (15.12.99)

(30) Priority Data:

98204409.1

22 December 1998 (22.12.98) El

CD C

(71) Applicant (for all designated States except US): JANSSEN PHARMACEUTICA N.V. [BE/BE]; Patent Department, Turnhoutseweg 30, B-2340 Beerse (BE).

(72) Inventors; and

- (75) Inventors/Applicants (for US only): MAES, Louis, Jules, Roger, Marie [BE/BE]; Pastorijstraat 66, B-2275 Wechelderzande (BE). GERMONPREZ, Nils, Albert, Gilbert [BE/BE]; Reningepad 16, B-8370 Blankenberge (BE). VAN PUYVELDE, Luc, Emiel, Mathilde [BE/BE]; Maretak 101, B-9250 Waasmunster (BE). VAN TRI, Mai [VN/VN]; (VN). NGOC NINH, Tran [VN/VN]; National Center for Science and Technology, Hoang Quoc Viet Road, Cau Giay, Hanoi (VN). DE KIMPE, Norbert, G., M. [BE/BE]; Lodries 7, B-9070 Destelbergen (BE).
- (74) Agent: QUAGHEBEUR, Luc; Janssen Pharmaceutica N.V.,
 Patent Department, Turnhoutseweg 30, B-2340 Beerse
 (BE).

(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

Published

With international search report.

(54) Title: ANTIPROTOZOAL SAPONINS

$$\begin{array}{c} R_{4Q} \\ R_{10} \\ R_{10} \\ R_{10} \\ R_{10} \\ R_{0} \\ \end{array}$$

(57) Abstract

Saponins of formula (I), a stereoisomeric form thereof or a pharmaceutically acceptable addition salt thereof, wherein R_1 to R_{12} have the meaning given in the description, can be isolated from plants of the family *Myrsinaceae* and used to decrease the infectiousness of and reduce the mortality associated with protozoan parasites of the genus *Leishmania* which are responsible for a group of conditions known as leishmaniases.

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

| AL | Albania | ES | Spain | LS | Lesotho | SI | Slovenia |
|----|--------------------------|------|---------------------|----|-----------------------|----|--------------------------|
| AM | Armenia | FI | Finland | LT | Lithuania | SK | Slovakia |
| AT | Austria | FR | France | LU | Luxembourg | SN | Senegal |
| ΑÜ | Australia | GA | Gabon | LV | Larvia | SZ | Swaziland |
| AZ | Azerbaijan | GB | United Kingdom | MC | Monaco | TD | Chad |
| BA | Bosnia and Herzegovina | GE | Georgia | MD | Republic of Moldova | TG | Togo |
| BB | Barbados | GH | Ghana | MG | Madagascar . | TJ | Tajikistan |
| BE | Belgium | GN | Guinea | MK | The former Yugoslav | TM | Turkmenistan |
| BF | Burkina Faso | GR | Greece | | Republic of Macedonia | TR | Turkey |
| BG | Bulgaria | HU | Hungary | ML | Mali | TT | Trinidad and Tobago |
| BJ | Benin | 1E | Ireland | MN | Mongolia | UA | Ukraine |
| BR | Brazil | IL | Israel | MR | Mauritania | UG | Uganda |
| BY | Belarus | IS | Iceland | MW | Malawi | US | United States of America |
| CA | Canada | IT | Itały | MX | Mexico | UZ | Uzbekistan |
| CF | Central African Republic | JP | Japan | NE | Niger | VN | Viet Nam |
| CG | Congo | KE . | Kenya | NL | Netherlands | YU | Yugoslavia |
| CH | Switzerland | KG | Kyrgyzstan | NO | Norway | zw | Zimbabwe |
| CI | Côte d'Ivoire | KP | Democratic People's | NZ | New Zealand | | |
| CM | Cameroon | | Republic of Korea | PL | Poland | | |
| CN | China | KR | Republic of Korea | PT | Portugal | | |
| CU | Cuba | K2 | Kazakstan | RO | Romania | | |
| CZ | Czech Republic | LC | Saint Lucia | RU | Russian Federation | | |
| DE | Germany | LI | Liechtenstein | SD | Sudan | | |
| DK | Denmark | LK | Sri Lanka | SE | Sweden | | |
| EE | Estonia | LR | Liberia | SG | Singapore | • | |